

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
18 August 2005 (18.08.2005)

PCT

(10) International Publication Number  
**WO 2005/075418 A2**

(51) International Patent Classification<sup>7</sup>: **C07C 323/00**

(21) International Application Number:  
PCT/EP2005/000987

(22) International Filing Date: 1 February 2005 (01.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
MI2004A000164 3 February 2004 (03.02.2004) IT

(71) Applicant (for all designated States except US): **INDENA S.P.A.** [IT/IT]; Viale Ortles, 12, I-20139 Milano (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BOMBARDELLI, Ezio** [IT/IT]; Via Gabetta, 13, I-27027 Groppello Cairoli (IT). **FONTANA, Gabriele** [IT/IT]; Viale Ortles, 12, I-20139 Milano (IT).

(74) Agents: **MINOJA, Fabrizio** et al.; Bianchetti Bracco Minoja S.r.l., Via Plinio, 63, I-20129 Milano (IT).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

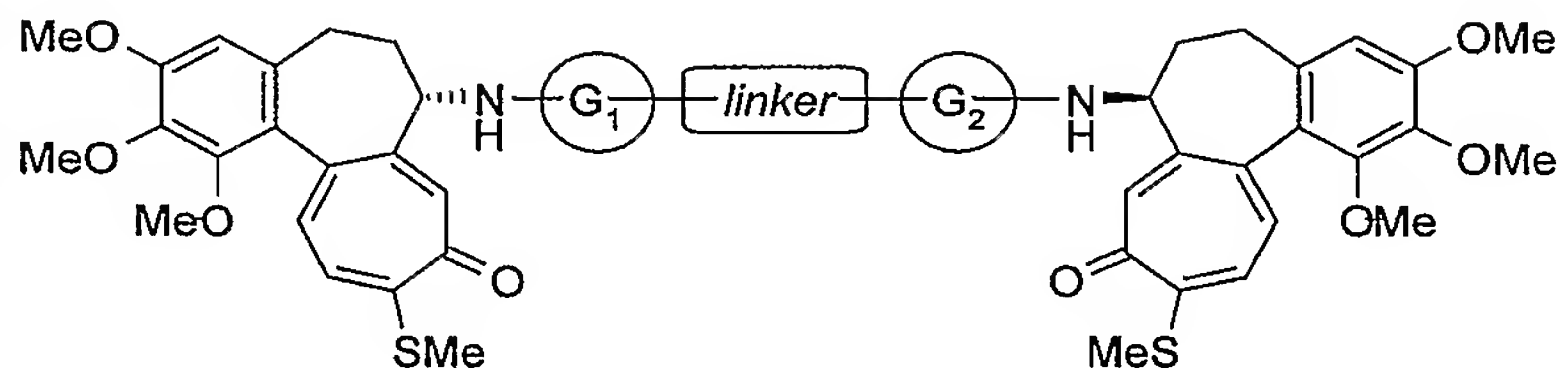
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: N-DEACETYLTHIOLCHICINE DERIVATIVES, THEIR USE AND PHARMACEUTICAL FORMULATIONS CONTAINING THEM



-COHN-, -CR<sub>2</sub>- groups in which R<sub>2</sub> is hydrogen or a straight C<sub>1</sub>-C<sub>4</sub> alkyl residue, or the G<sub>1</sub>-linker-G<sub>2</sub> group is the -CO- group. The compounds of formula (I) have antiproliferative, antiinflammatory, antiarthritic and antiviral activity.

(57) Abstract: Disclosed is a series of N-deacetylthiolchicine derivatives of formula (I) in which: - the linker is a bivalent straight or branched C<sub>1</sub>-C<sub>8</sub> alkyl residue, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, a phenylene or heterocyclic C<sub>4</sub>-C<sub>6</sub> ring; - the G<sub>1</sub> and G<sub>2</sub> junctions, which can be the same or different, are - CO-,